10/717,868

```
=> d his

     (FILE 'HOME' ENTERED AT 15:16:21 ON 05 APR 2005)

     FILE 'REGISTRY' ENTERED AT 15:16:35 ON 05 APR 2005
L1               STRUCTURE UPLOADED
L2             0 S L1 SAM
L3            41 S L1 FULL

     FILE 'CA' ENTERED AT 15:17:02 ON 05 APR 2005
L4             9 S L3
L5           189 S TIOTROPIUM
L6             1 S L4 AND L5
L7             8 S L4 NOT L6

     FILE 'MARPAT' ENTERED AT 15:17:50 ON 05 APR 2005
L8             7 S L1 FULL
L9             6 S L8/COM

=>

---Logging off of STN---


=>
Executing the logoff script...
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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:52:51 ON 05 APR 2005

=> file reg
C

=> s tiotropium/cn
L2            1 TIOTROPIUM/CN

=> d
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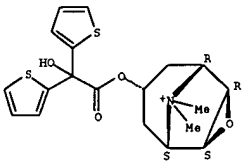
L1 ANSWER 1 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
RN 412010-64-1 REGISTRY
ED Entered STN: 07 May 2002
CN 3-Oxa-9-azoniatricyclo[3.3.1.02,4]nonane, 7-[(hydroxydi-2-thienylacetyl)oxy]-9,9-dimethyl-, (1α,2β,4β,5α,7.bet a.)-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Tiotropium p-toluenesulfonate**
FS STEREOSEARCH
MF C19 H22 N O4 S2 . C7 H7 O3 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

CM 1

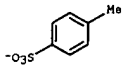
CRN 186691-13-4
CMF C19 H22 N O4 S2

Relative stereochemistry.



CM 2

CRN 16722-51-3
CMF C7 H7 O3 S



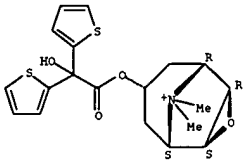
19 REFERENCES IN FILE CA (1907 TO DATE)
19 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 2 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
RN 412010-63-0 REGISTRY
ED Entered STN: 07 May 2002
CN 3-Oxa-9-azoniatricyclo[3.3.1.02,4]nonane, 7-[(hydroxydi-2-thienylacetyl)oxy]-9,9-dimethyl-, (1α,2β,4β,5α,7.bet a.)-, methyl sulfate (salt) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Tiotropium methylsulfate**
FS STEREOSEARCH
MF C19 H22 N O4 S2 . C H3 O4 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

CM 1

CRN 186691-13-4
CMF C19 H22 N O4 S2

Relative stereochemistry.



CM 2

CRN 21228-90-0
CMF C H3 O4 S

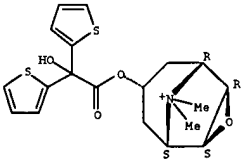
15 REFERENCES IN FILE CA (1907 TO DATE)
16 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 3 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
RN 412010-62-9 REGISTRY
ED Entered STN: 07 May 2002
CN 3-Oxa-9-azoniatricyclo[3.3.1.02,4]nonane, 7-[(hydroxydi-2-thienylacetyl)oxy]-9,9-dimethyl-, (1α,2β,4β,5α,7.bet a.)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Tiotropium methanesulfonate**
FS STEREOSEARCH
MF C19 H22 N O4 S2 . C H3 O3 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

CM 1

CRN 186691-13-4
CMF C19 H22 N O4 S2

Relative stereochemistry.



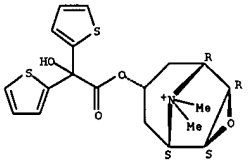
CM 2

CRN 16053-58-0
CMF C H3 O3 S

20 REFERENCES IN FILE CA (1907 TO DATE)
21 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 4 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
RN 412010-61-8 REGISTRY
ED Entered STN: 07 May 2002
CN 3-Oxa-9-azoniatricyclo[3.3.1.02,4]nonane, 7-[(hydroxydi-2-thienylacetyl)oxy]-9,9-dimethyl-, iodide, (1α,2β,4β,5.alph a.,7β)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **tiotropium iodide**
FS STEREOSEARCH
MF C19 H22 N O4 S2 . I
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
CRN (186691-13-4)

Relative stereochemistry.

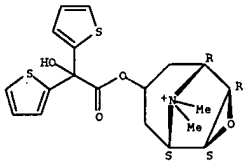


● I-

20 REFERENCES IN FILE CA (1907 TO DATE)
21 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 5 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
RN 412010-60-7 REGISTRY
ED Entered STN: 07 May 2002
CN 3-Oxa-9-azoniatricyclo[3.3.1.02,4]nonane, 7-[(hydroxydi-2-thienylacetyl)oxy]-9,9-dimethyl-, chloride, (1α,2β,4β,5.alpha.,7β)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **tiotropium chloride**
FS STEREOSEARCH
MF C19 H22 N O4 S2 . Cl
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
CRN (186691-13-4)

Relative stereochemistry.

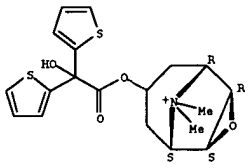


● Cl⁻

20 REFERENCES IN FILE CA (1907 TO DATE)
21 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 6 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
RN 411207-31-3 REGISTRY
ED Entered STN: 06 May 2002
CN 3-Oxa-9-azoniatricyclo[3.3.1.02,4]nonane, 7-[(hydroxydi-2-thienylacetyl)oxy]-9,9-dimethyl-, bromide, monohydrate, (1α,2β,4β,5α,7β)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Tiotropium bromide monohydrate**
FS STEREOSEARCH
MF C19 H22 N O4 S2 . Br . H2 O
CI COM
SR CA
LC STN Files: CA, CAPLUS, PATDPASPC, PS, USPAT2, USPATFULL
CRN (186691-13-4)

Relative stereochemistry.



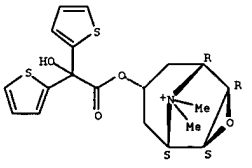
● Br⁻

● H_2O

27 REFERENCES IN FILE CA (1907 TO DATE)
27 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 7 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
RN 186691-13-4 REGISTRY
ED Entered STN: 05 Mar 1997
CN 3-Oxa-9-azoniatricyclo[3.3.1.02,4]nonane, 7-[(hydroxydi-2-thienylacetyl)oxy]-9,9-dimethyl-, (1α,2β,4β,5α,7.beta.)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Tiotropium**
FS STEREOSEARCH
MF C19 H22 N O4 S2
CI COM
SR CA
LC STN Files: ADISINSIGHT, BIOSIS, CA, CAPLUS, PATDPASPC, PROUSDDR, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL

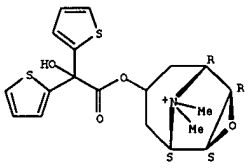
Relative stereochemistry.



98 REFERENCES IN FILE CA (1907 TO DATE)
24 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
101 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
RN 136310-93-5 REGISTRY
ED Entered STN: 20 Sep 1991
CN 3-Oxa-9-azoniatricyclo[3.3.1.02,4]nonane, 7-[(hydroxydi-2-thienylacetyl)oxy]-9,9-dimethyl-, bromide, (1α,2β,4β,5.alpha.,7β)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3-Oxa-9-azatricyclo[3.3.1.02,4]nonane, 3-oxa-9-azoniatricyclo[3.3.1.02,4]nonane deriv.
OTHER NAMES:
CN BA 679BR
CN Spiriva
CN tiopropium
CN **Tiotropium bromide**
FS STEREOSEARCH
MF C19 H22 N O4 S2 . Br
CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CHEMCATS, CIN, DIOGENES, EMBASE, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PATDPASPC, PHAR, PIRA, PROMT, PROUSDDR, PS, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)
CRN (186691-13-4)

Relative stereochemistry.



● Br⁻

132 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
135 REFERENCES IN FILE CAPLUS (1907 TO DATE)

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:16:21 ON 05 APR 2005

=> file reg

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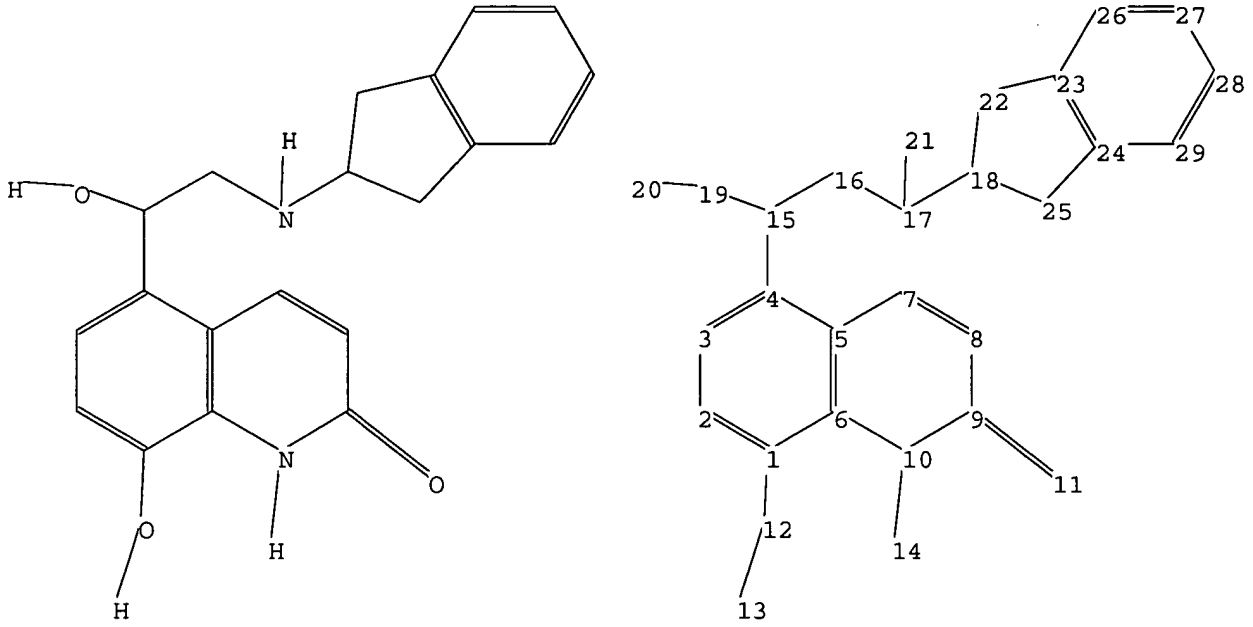
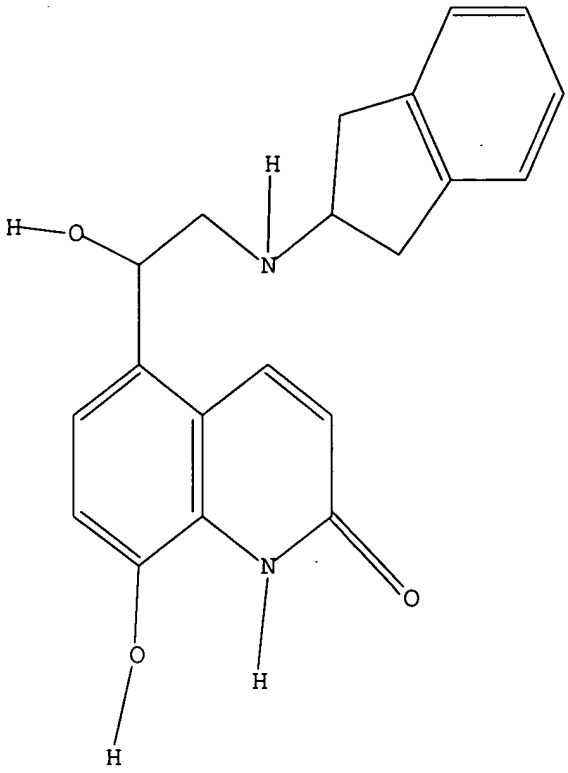


chain nodes :
11 12 13 14 15 16 17 19 20 21
ring nodes :
1 2 3 4 5 6 7 8 9 10 18 22 23 24 25 26 27 28 29
chain bonds :
1-12 4-15 9-11 10-14 12-13 15-16 15-19 16-17 17-18 17-21 19-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 18-22 18-25 22-23 23-24
23-26 24-25 24-29 26-27 27-28 28-29
exact/norm bonds :
1-12 5-7 6-10 7-8 8-9 9-10 9-11 15-19 16-17 17-18 18-22 18-25 22-23
24-25
exact bonds :
4-15 10-14 12-13 15-16 17-21 19-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-26 24-29 26-27 27-28 28-29
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:Atom
19:CLASS 20:CLASS 21:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom
28:Atom 29:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
L3 41 SEA SSS FUL L1

=> file ca

=> s l3
L4 9 L3

=> s tiotropium
L5 189 TIOTROPIUM

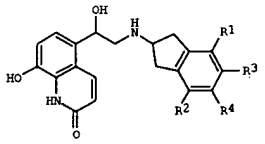
=> s l4 and l5
L6 1 L4 AND L5

=> d ibib abs fhitstr

L6 ANSWER 1 OF 1 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:59702 CA
TITLE: Inhalant containing a combination of a **tiotropium** salt and a β-mimetics for the treatment of COPD
INVENTOR(S): Konetzki, Ingo; Meade, Christopher J. Montague; Pairet, Michel; Pieper, Michael P.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. KG, Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10256080	A1	20040617	DE 2002-10256080	20021129
WO 2004050093	A1	20040617	WO 2003-EP12913	20031119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004132759	A1	20040708	US 2003-717868	20031119
PRIORITY APPLN. INFO.:			DE 2002-10256080 A	20021129
			US 2003-446668P P	20030211

OTHER SOURCE(S): MARPAT 141:59702
GI



I

AB The invention concerns a combination for the treatment of chronic obstructive pulmonary disease composed of a **tiotropium** salt, preferably **tiotropium** bromide, and a β-mimetic of the general formula (I), where R1, R2 = H, C1-4-alkyl; R3, R4 = H, C1-4-alkyl, O-C1-4-alkyl, C1-4-alkylene-O-C1-4-alkyl; or R3, R4 together are for a bridging group O-C1-4-alkylene or -O-C1-4-O-, or its salt. Inhalant powders, suspensions and solns. are prepared Thus an inhalant powder contained (μg/capsule): **tiotropium** bromide monohydrate 10.8;

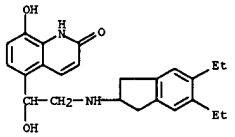
L6 ANSWER 1 OF 1 CA COPYRIGHT 2005 ACS on STN (Continued)
5-[[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-2(1H)-quinoline monohydrochloride 35; and lactose 4954.2.

IT 614751-12-1
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(inhalant containing combination of **tiotropium** salt and β-mimetics for treatment of COPD)

RN 614751-12-1 CA
CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

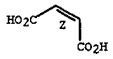
CRN 312753-33-6
CMF C24 H28 N2 O3



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



10/717,868

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=> s l4 not l6
L7            8 L4 NOT L6

=> d ibib abs fhitstr 1-8
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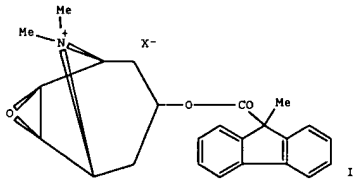
L7 ANSWER 1 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:225794 CA
TITLE: Medicaments for inhalation comprising betamimetics and an anticholinergic agent
INVENTOR(S): Germeyer, Sabine; Meade, Christopher John Montague; Meissner, Helmut; Morschhaeuser, Gerd; Pairet, Michel; Pestel, Sabine; Pieper, Michael P.; Pohl, Gerald; Reichl, Richard; Speck, Georg; Konetzki, Ingo
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013992	A1	20050217	WO 2004-EP7997	20040717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-17036 A 20030728
GI

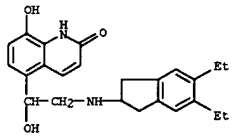


I

AB The present invention relates to novel pharmaceutical compns. based on beta2 agonists and salts of a new anticholinergic, processes for preparing them and their use in the treatment of respiratory complaints, wherein the anticholinergic agent has the formula I. Scopine 9-methyl-fluorene-9-carboxylate methobromide (II) was prepd. by the reaction of scopine 9-methyl-fluorene-9-carboxylate with 50% Me bromide soln. in acetonitrile. The crystals pptd. were sepd. off and recrystd. from di-Et ether to purify them, yield = 70%, m.p. = 214°. Inhalant powders contained II 50, fomoterol fumarate dihydrate 12, and lactose 12408 μg per capsule.

IT **312753-33-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments for inhalation comprising betamimetics and anticholinergic agent)

RN 312753-33-6 CA
CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:183470 CA
TITLE: Medicaments for inhalation comprising an anticholinergic and a betamimetic
INVENTOR(S): Meade, Christopher John Montague; Pairet, Michel; Pieper, Michael P.
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany
SOURCE: U.S. Pat. Appl. Publ., 15 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

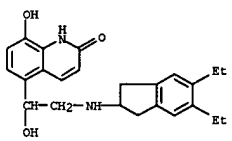
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005026948	A1	20050203	US 2004-891552	20040715
WO 2005014044	A1	20050217	WO 2004-EP8030	20040717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-17163 A 20030729
US 2003-507982P P 20031002

AB Disclosed is a pharmaceutical composition comprising 3-[(hydroxydi-2-thienylacetyl)oxy]-1-(3-phenoxypropyl)-1-azoniabicyclo[2.2.2]octane salts with a single neg. charge, and a betamimetic, optionally together with a pharmaceutically acceptable excipient, for the treatment of respiratory tract diseases. For example, inhalable powders in a capsule contained 3-[(hydroxydi-2-thienylacetyl)oxy]-1-(3-phenoxypropyl)-1-azoniabicyclo[2.2.2]octane bromide 150, formoterol fumarate dihydrate 50, and lactose 12,300 μg.

IT **312753-33-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments for inhalation comprising anticholinergics and betamimetics)

RN 312753-33-6 CA
CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

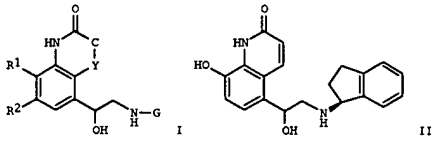


L7 ANSWER 3 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:350042 CA
TITLE: Preparation of quinoline-2-one derivatives for the treatment of airways diseases
INVENTOR(S): Fairhurst, Robin Alec; Sandham, David Andrew; Beattie, David; Bruce, Ian; Cuenoud, Bernard; Madden, Reamonn; Press, Neil John; Taylor, Roger John; Turner, Katharine Louise; Watson, Simon James
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087142	A1	20041014	WO 2004-EP3516	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2003-7856 A 20030404
GB 2003-11462 A 20030519
GB 2003-13489 A 20030611
GB 2003-16656 A 20030716
GB 2003-16657 A 20030716

OTHER SOURCE(S): MARPAT 141:350042
GI

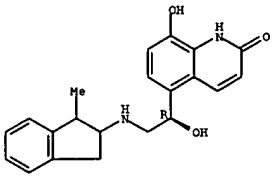


I II

AB Title compds. represented by the formula I [wherein C-Y = CH2CH2, CH:CH, CH2O; R1, R2 = H, OH and R1 ≠ R2; G = (un)substituted cyclopentyl(alkyl), indanyl(alkyl), benzofuranyl(alkyl), etc.; in free or salt or solvate form] were prepared For example, reaction of (R)-1-aminoindane with (R)-8-benzyloxy-5-oxiranyl-1H-quinolin-2-one, followed by hydrogenation, gave II. I and their pharmaceutical compns. are useful for the treatment of a condition which is prevented or

L7 ANSWER 3 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)
alleviated by activation of the β2-adrenoreceptor, or the treatment of an obstructive or inflammatory airways disease (no data).
IT **774221-96-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline-2-one derivs. for treatment of airways diseases)
RN 774221-96-4 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(2,3-dihydro-1-methyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:332069 CA
TITLE: Process for preparation of 5-(haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivatives
INVENTOR(S): Lohse, Olivier; Penn, Gerhard; Schilling, Hanspeter
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087668	A1	20041014	WO 2004-EP3479	20040401

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

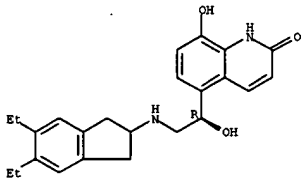
PRIORITY APPLN. INFO.: US 2003-459724P P 20030402
OTHER SOURCE(S): MARPAT 141:332069
AB This invention pertains to a method for producing 5-(α-haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivs. The process involves (i) reacting 8-hydroxy-(1H)-quinolin-2-one with an acylating agent and a Lewis acid to form 5-acetyl-8-hydroxy-(1H)-quinolin-2-one; (ii) reacting 5-acetyl-8-hydroxy-(1H)-quinolin-2-one with a compound RL [wherein R is a protecting group and L is a leaving group] in the presence of a base to form 5-acetyl-8-(substituted oxy)-(1H)-quinolin-2-one; and (iii) reacting 5-acetyl-8-(substituted oxy)-(1H)-quinolin-2-one with a halogenating agent to form 5-(α-haloacetyl)-8-(substituted oxy)-(1H)-quinolin-2-one. For example, 8-hydroxy-(1H)-quinolin-2-one was reacted with Ac2O in 1,2-dichlorobenzene in the presence of AlCl3 to give 5-acetyl-8-hydroxy-(1H)-quinolin-2-one (82.0%). The above compound was reacted with PhCH2Br in acetone in the presence of diisopropylethylamine to afford 5-acetyl-8-benzyloxy-(1H)-quinolin-2-one (91.7%). The quinolinone obtained was treated with benzyltrimethylammonium dichloroiodate in AcOH to provide 5-(α-chloroacetyl)-8-benzyloxy-(1H)-quinolin-2-one.
IT **753498-25-8P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5-(haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivs.)
RN 753498-25-8 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 312753-06-3

L7 ANSWER 4 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)
CMF C24 H28 N2 O3

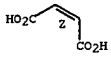
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



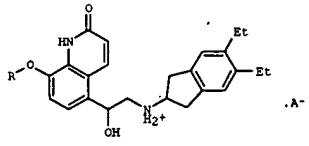
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:260556 CA
TITLE: Process for preparing 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one salt useful as an adrenoceptor agonist
INVENTOR(S): Lohse, Olivier; Vogel, Caspar
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076422	A1	20040910	WO 2004-EP1981	20040227

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-450945P P 20030228
OTHER SOURCE(S): CASREACT 141:260556; MARPAT 141:260556
GI



I

AB A process for preparing 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one (I) salt. The process involves forming an acid salt of 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-substituted oxy-(1H)-quinolin-2-one (II; R = a protecting group; A- = an anion) and converting the acid salt to a salt of I, i.e. II (R = H), without isolating the free base of I. Thus, 30.89 g 2-amino-5,6-diethylindan was dissolved in diethylene glycol di-Me ether, treated with 36.4 g 8-phenylmethoxy-5-(R)-oxiranyl-1H-quinolin-2-one, stirred at 110° for 15 h, cooled to 70°, treated with 210 mL EtOH and then with a solution of a solution of 30.3 g benzoic acid in 140 mL ethanol, cooled to 45-50°, seeded, cooled to 0-5°, and filtered to give, after recrystn. from EtOH, 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-phenylmethoxy-(1H)-quinolin-2-one benzoate (III). III (40

L7 ANSWER 5 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)
g) was hydrogenated over 5% Pd on charcoal (5.44 g) in 400 mL AcOH for 2-8 h, filtered over a pad of filter aid, concd. at 50-60° under vacuum (100 mbar) to a vol. of 70-90 mL, treated with 400 mL EtOH, heated to 50-60°, treated with a soln. of 11.6 g maleic acid in 24 mL EtOH, seeded at 50° with a suspension of 350 mg micronized I in 20 mL isopropanol, and allowed to crystallize by slow cooling to 0-5°, and filtered, followed by washing with 50 EtOH and 25 mL isopropanol and recrystn. from 1.36 L EtOH, 24.3 g I maleate as a white cryst. powder.

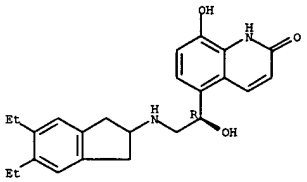
IT **753498-41-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparing 5-[(R)-2-(5,6-diethylindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-(1H)-quinolin-2-one salt as adrenoceptor agonist)

RN 753498-41-8 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, monobenzoate (salt) (9CI) (CA INDEX NAME)

CM 1

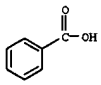
CRN 312753-06-3
CMF C24 H28 N2 O3

Absolute stereochemistry.



CM 2

CRN 65-85-0
CMF C7 H6 O2



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

L7 ANSWER 6 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:341650 CA
TITLE: Medicaments containing betamimetic drugs and a novel anticholinesterase drug for treating respiratory tract diseases
INVENTOR(S): Banholzer, Rolf; Meade, Christopher John Montague; Meissner, Helmut; Morschhaeuser, Gerd; Pairet, Michel; Pieper, Michael P.; Pohl, Gerald; Reichl, Richard; Speck, Georg; Konetzki, Ingo
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087097	A1	20031023	WO 2003-EP3669	20030409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10256317	A1	20031023	DE 2002-10256317	20021203
US 2004010003	A1	20040115	US 2003-395501	20030324
CA 2481468	AA	20031023	CA 2003-2481468	20030409
EP 1497289	A1	20050119	EP 2003-746158	20030409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009185	A	20050215	BR 2003-9185	20030409

PRIORITY APPLN. INFO.:
DE 2002-10216428 A 20020412
DE 2002-10256317 A 20021203
US 2002-386160P P 20020605
WO 2003-EP3669 W 20030409

OTHER SOURCE(S): MARPAT 139:341650
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel medicament compns. based on long-acting β2 agonists and salts I·X- [X = simple anion (Cl, Br, I, sulfate, phosphate, O3SMe, NO3, maleate, OAc, citrate, fumarate, tartrate, oxalate, succinate, O2CPh, OTs)], of a novel anticholinesterase drug I, to methods for the production of these compns. and their use in treating respiratory tract diseases. The invention also relates to the combination of I with one or more biomimetics II [R1, R2 = H, C1-4-alkyl; R3, R4 = H, C1-4-alkyl, O-(C1-4-alkyl), (C1-4-alkylene)-O-(C1-4-alkyl); R3R4 = C1-4-alkylene, O-(C1-4-alkylene)-O], their enantiomers, mixts., racemates, solvates, hydrates or with salmeterol, formoterol or their acid addition salts. Thus, an example inhalation powder formulation comprises

L7 ANSWER 5 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

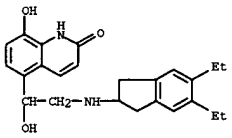
L7 ANSWER 6 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)
I·Br- and II·HO2CCH:CHCO2H-(Z) (R1 = R2 = H, R3 = R4 = Et) and lactose.

IT **614751-12-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(betamimetic drug; medicaments containing betamimetic drugs and a novel anticholinesterase drug for treating respiratory tract diseases)

RN 614751-12-1 CA
CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

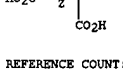
CRN 312753-33-6
CMF C24 H28 N2 O3



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

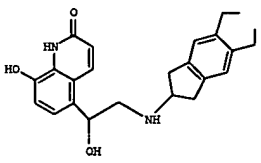
L7 ANSWER 7 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:37642 CA
TITLE: Preparation and formulation of a quinolinone compound for treatment of airway disorders
INVENTOR(S): Cuenoud, Bernard; Fairhurst, Robin Alec; Lowther, Nicholas
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002045703	A2	20020613	WO 2001-EP14122	20011203
WO 2002045703	A3	20030313		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2427282	AA	20020613	CA 2001-2427282	20011203
AU 2002017082	A5	20020618	AU 2002-17082	20011203
EP 1341542	A2	20030910	EP 2001-999366	20011203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015910	A	20040120	BR 2001-15910	20011203
JP 2004514739	T2	20040520	JP 2002-547487	20011203
NZ 525731	A	20041126	NZ 2001-525731	20011203
ZA 2003003399	A	20040423	ZA 2003-3399	20030502
NO 2003002510	A	20030603	NO 2003-2510	20030603
US 2004038951	A1	20040226	US 2003-433546	20030604
US 6800643	B2	20041005		
US 2005009795	A1	20050113	US 2004-911201	20040804

PRIORITY APPLN. INFO.: GB 2000-29562 A 20001204; WO 2001-EP14122 W 20011203; US 2003-433546 A1 20030604

OTHER SOURCE(S): MARPAT 137:37642
GI

L7 ANSWER 7 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)

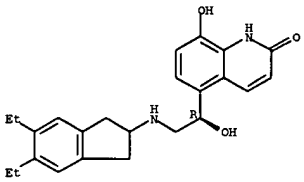


I

AB An inhalation composition comprises, sep. or together, (A) a quinolinone compound (I) in free or pharmaceutically acceptable salt or solvate form and (B) a corticosteroid, useful for simultaneous, sequential or sep. administration in the treatment of an inflammatory or obstructive airway disease. The molar ratio of (A) to (B) is from 100:1 to 1:300. A composition is an aerosol or a dry powder in a capsule. For example, an aerosol formulation was prepared by dispensing 10 parts of micronized I maleate, 10 parts of mometasone furoate, and 100 parts of lactose (bulking agent) into a vial, sealing the vial with a metering valve, injecting the premix of 2500 parts of ethanol, 30,500 parts of propellant HFA134a, 67,000 parts of propellant HFA227, and 0.5 parts of oleic acid (surfactant) into the vial through the valve, and subjecting the vial to ultrasonic energy to disperse the solid particles.
IT **312753-06-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and quinolinone compound and its formulation with corticosteroid for treatment of airway disorders)
RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 7 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)



L7 ANSWER 8 OF 8 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:42074 CA
TITLE: Preparation of indanyl-substituted quinolinone derivatives as β2-adrenoceptor agonists
INVENTOR(S): Cuenoud, Bernard; Bruce, Ian; Fairhurst, Robin Alec; Beattie, David
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075114	A1	20001214	WO 2000-EP5058	20000602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2375810	AA	20001214	CA 2000-2375810	20000602
BR 2000011324	A	20020305	BR 2000-11324	20000602
EP 1183240	A1	20020306	EP 2000-935163	20000602
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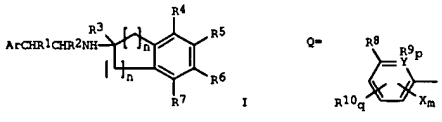
TR 200103497	T2	20020521	TR 2001-200103497	20000602
JP 2003501417	T2	20030114	JP 2001-501595	20000602
AU 765919	B2	20031002	AU 2000-50745	20000602
NZ 515669	A	20040130	NZ 2000-515669	20000602
RU 2244709	C2	20050120	RU 2001-135801	20000602
NO 2001005912	A	20020121	NO 2001-5912	20011203
ZA 2001009931	A	20020605	ZA 2001-9931	20011203

PRIORITY APPLN. INFO.: GB 1999-13083 A 19990604; WO 2000-EP5058 W 20000602

OTHER SOURCE(S): MARPAT 134:42074
GI

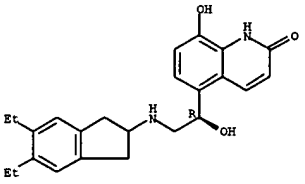


I

AB The title compds. I [Ar = Q; R1 = H, OH, alkoxy; R2, R3 = H, alkyl; R4-R7 = H, halo, cyano, aryl, etc.; R8 = halo, OR13, etc.; R9 = H or part of a heterocycle; R10 = OR19, NHR19, etc.; X = halo, halomethyl, alkyl; Y = C, N; n = 1, 2; p = 0, 1; q, m = 0, 1], β2-adrenoceptor agonists, were prepared E.g., 5-[2-(5,6-dimethoxyindan-2-ylamino)-1-hydroxyethyl]-8-

L7 ANSWER 8 OF 8 CA COPYRIGHT 2005 ACS on STN (Continued)
hydroxy-1H-quinolin-2-one was prepd.
IT 312753-06-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indanyl-substituted quinolinone derivs. and related compds.
as β2-adrenoceptor agonists)
RN 312753-06-3 CA
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/717,868

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=> file marpat

=> s l1 full
L8             7 SEA SSS FUL L1

=> s l8/com
L9             6 L8/COM

=> d ibib abs fqhit 1-6
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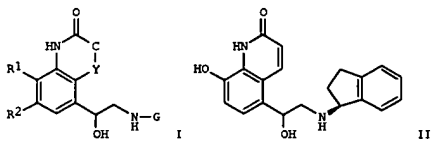
L9 ANSWER 1 OF 6 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:350042 MARPAT
TITLE: Preparation of quinoline-2-one derivatives for the treatment of airways diseases
INVENTOR(S): Fairhurst, Robin Alec; Sandham, David Andrew; Beattie, David; Bruce, Ian; Cuenoud, Bernard; Madden, Reamonn; Press, Neil John; Taylor, Roger John; Turner, Katharine Louise; Watson, Simon James
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087142	A1	20041014	WO 2004-EP3516	20040402
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

GB 2003-7856	20030404
GB 2003-11462	20030519
GB 2003-13489	20030611
GB 2003-16656	20030716
GB 2003-16657	20030716

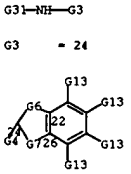
GI



AB Title compds. represented by the formula I [wherein C-Y = CH2CH2, CH:CH, CH2O; R1, R2 = H, OH and R1 = R2; G = (un)substituted cyclopentyl(alkyl), indanyl(alkyl), benzofuranyl(alkyl), etc.; in free or salt or solvate form] were prepared For example, reaction of

L9 ANSWER 1 OF 6 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
(R)-1-aminoindane with (R)-8-benzyloxy-5-oxiranyl-1H-quinolin-2-one, followed by hydrogenation, gave II. I and their pharmaceutical compns. are useful for the treatment of a condition which is prevented or alleviated by activation of the β2-adrenoreceptor, or the treatment of an obstructive or inflammatory airways disease (no data).

MSTR 1



MPL: claim 1
NTE: or salts or solvates

L9 ANSWER 1 OF 6 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
NTE: additional ring formation also claimed
NTE: also incorporates claim 10, structues III and IV

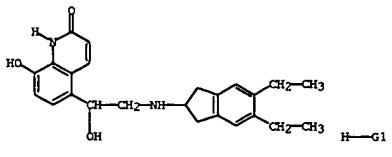
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 6 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:332069 MARPAT
TITLE: Process for preparation of 5-(haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivatives
INVENTOR(S): Lohse, Olivier; Penn, Gerhard; Schilling, Hanspeter
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087668	A1	20041014	WO 2004-EP3479	20040401
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2003-459724P 20030402
AB This invention pertains to a method for producing 5-(α-haloacetyl)-8-hydroxy-(1H)-quinolin-2-one derivs. The process involves (i) reacting 8-hydroxy-(1H)-quinolin-2-one with an acylating agent and a Lewis acid to form 5-acetyl-8-hydroxy-(1H)-quinolin-2-one; (ii) reacting 5-acetyl-8-hydroxy-(1H)-quinolin-2-one with a compound RL [wherein R is a protecting group and L is a leaving group] in the presence of a base to form 5-acetyl-8-(substituted oxy)-(1H)-quinolin-2-one; and (iii) reacting 5-acetyl-8-(substituted oxy)-(1H)-quinolin-2-one with a halogenating agent to form 5-(α-haloacetyl)-8-(substituted oxy)-(1H)-quinolin-2-one. For example, 8-hydroxy-(1H)-quinolin-2-one was reacted with Ac2O in 1,2-dichlorobenzene in the presence of AlCl3 to give 5-acetyl-8-hydroxy-(1H)-quinolin-2-one (82.0%). The above compound was reacted with PhCH2Br in acetone in the presence of diisopropylethylamine to afford 5-acetyl-8-benzyloxy-(1H)-quinolin-2-one (91.7%). The quinolinone obtained was treated with benzyltrimethylammonium dichloroiodate in AcOH to provide 5-(α-chloroacetyl)-8-benzyloxy-(1H)-quinolin-2-one.

MSTR 7



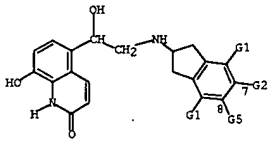
MPL: claim 12

L9 ANSWER 2 OF 6 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 6 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
2-yl)amino]-1-hydroxyethyl]-8-hydroxy-2(1H)-quinoline monohydrochloride 35; and lactose 4954.2.

MSTR 1



MPL: claim 1

L9 ANSWER 3 OF 6 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:59702 MARPAT
TITLE: Inhalant containing a combination of a tiotropium salt and a β-mimetics for the treatment of COPD
INVENTOR(S): Konetzki, Ingo; Meade, Christopher J. Montague; Pairet, Michel; Pieper, Michael P.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. KG, Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10256080	A1	20040617	DE 2002-10256080	20021129
WO 2004050093	A1	20040617	WO 2003-EP12913	20031119
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004132759	A1	20040708	US 2003-717868	20031119
PRIORITY APPLN. INFO.:			DE 2002-10256080	20021129
			US 2003-446668P	20030211

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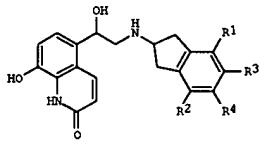


I

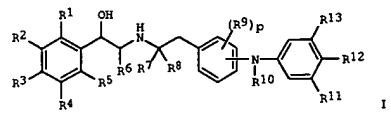
AB The invention concerns a combination for the treatment of chronic obstructive pulmonary disease composed of a tiotropium salt, preferably tiotropium bromide, and a β-mimetic of the general formula (I), where R1, R2 = H, C1-4-alkyl; R3, R4 = H, C1-4-alkyl, O-C1-4-alkyl, C1-4-alkylene-O-C1-4-alkyl; or R3, R4 together are for a bridging group O-C1-4-alkylene or -O-C1-4-O-, or its salt. Inhalant powders, suspensions and solns. are prepared Thus an inhalant powder contained (μg/capsule): tiotropium bromide monohydrate 10.8; 5-[[(5,6-diethyl-2,3-dihydro-1H-inden-

L9 ANSWER 4 OF 6 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:16568 MARPAT
TITLE: Preparation of aryl aniline β-2 adrenergic receptor agonists
INVENTOR(S): Moran, Edmund J.; Jacobsen, John R.; Leadbetter, Michael R.; Nodwell, Matthew B.; Trapp, Sean G.; Aggen, James; Church, Timothy J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 68 pp., Cont.-in-part of U.S. Ser. No. 292,835.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003229058	A1	20031211	US 2003-431762	20030508
US 6670376	B1	20031230	US 2002-292835	20021112
US 2004059116	A1	20040325	US 2003-642926	20030818
US 2004063755	A1	20040401	US 2003-643196	20030818
WO 2005025555	A2	20050324	WO 2004-US14168	20040507
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 2001-338194P	20011113
			US 2001-343771P	20011228
			US 2002-292835	20021112
			US 2002-292211	20021112
			US 2003-431762	20030508

GI

L9 ANSWER 4 OF 6 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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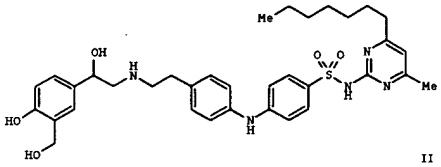
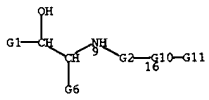


II

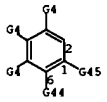
AB Title compds. I [R1-5 = H, alk(en/yn)yl, cycloalkyl, heterocyclyl, etc.; R6 = H, alkyl, alkoxy; R7 = H, alkyl; R8 = H, alkyl; R9 = alk(en/yn)yl, (hetero)aryl, etc.; R10 = H, alkyl; R11-13 = H, (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, etc.; p = 0-4] are prepared For instance, the di-Me ketal of 4-hydroxy-3-hydroxymethyl-α-bromoacetophenone (preparation given) is reacted with 4-bromophenethylamine (CH2Cl2, Et3N) followed by 4,4'-dimethoxychlorodiphenylamine and subsequently reduced (THF, NaBH4). The resulting protected amino alc. is then coupled with N-(4-heptyl-6-methyl-2-pyrimidinyl)sulfanilamide (PhMe, dppf, Pd2dba3, 80°, 5 h) and then deprotected with HOAc (80°, 5 h) to give II. All of the compds. tested demonstrated greater binding at the β2 adrenergic receptor than at the β1 adrenergic receptor, i.e., Ki(β1) > Ki(β2); many with a selectivity greater than 20. I are useful for the treatment of pulmonary diseases.

MSTR 1

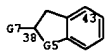


G1 = 2

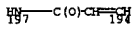
L9 ANSWER 4 OF 6 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G2 = 38-9 43-16



G4 = OH
G5 = (1-2) CH2
G44+G45= 197-6 194-1



MPL: claim 1
NTE: or pharmaceutically acceptable salts and solvates
NTE: additional substitution also claimed
STE: or stereoisomers

L9 ANSWER 5 OF 6 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 139:341650 MARPAT
TITLE: Medicaments containing betamimetic drugs and a novel anticholinesterase drug for treating respiratory tract diseases
INVENTOR(S): Banholzer, Rolf; Meade, Christopher John Montague; Meissner, Helmut; Morschhaeuser, Gerd; Pairet, Michel; Pieper, Michael P.; Pohl, Gerald; Reichl, Richard; Speck, Georg; Konetzki, Ingo
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087097	A1	20031023	WO 2003-EP3669	20030409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10256317	A1	20031023	DE 2002-10256317	20021203
US 2004010003	A1	20040115	US 2003-395501	20030324
CA 2481468	AA	20031023	CA 2003-2481468	20030409
EP 1497289	A1	20050119	EP 2003-746158	20030409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009185	A	20050215	BR 2003-9185	20030409

PRIORITY APPLN. INFO.: DE 2002-10216428 20020412
DE 2002-10256317 20021203
US 2002-386160P 20020605
WO 2003-EP3669 20030409

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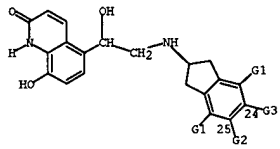
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel medicament compns. based on long-acting β2 agonists and salts I·X- [X = simple anion (Cl, Br, I, sulfate, phosphate, O3SMe, NO3, maleate, OAc, citrate, fumarate, tartrate, oxalate, succinate, O2CPh, OTs)], of a novel anticholinesterase drug I, to methods for the production of these compns. and their use in treating respiratory tract diseases. The invention also relates to the combination of I with one or more biomimetics II [R1, R2 = H, C1-4-alkyl; R3, R4 = H, C1-4-alkyl, O-(C1-4-alkyl), (C1-4-alkylene)-O-(C1-4-alkyl); R3R4 = C1-4-alkylene, O-(C1-4-alkylene)-O], their enantiomers, mixts., racemates, solvates, hydrates or with salmeterol, formoterol or their acid addition salts. Thus, an example inhalation powder formulation comprises I·Br- and II·HO2CCH:CHCO2H-(Z) (R1 = R2 = H, R3 = R4 = Et)

L9 ANSWER 5 OF 6 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
and lactose.

MSTR 2



MPL: claim 3
NTE: and salmeterol, formoterol or acid addition salts

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 6 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 138:401502 MARPAT
TITLE: Preparation of aryl aniline β-2 adrenergic receptor agonists
INVENTOR(S): Moran, Edmund J.; Jacobsen, John R.; Leadbetter, Michael R.; Nodwell, Matthew B.; Trapp, Sean G.; Aggen, James; Church, Timothy J.
PATENT ASSIGNEE(S): Theravance, Inc, USA
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042164	A1	20030522	WO 2002-US36237	20021112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1446379	A1	20040818	EP 2002-780622	20021112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013795	A	20041207	BR 2002-13795	20021112
US 2004059116	A1	20040325	US 2003-642926	20030818
PRIORITY APPLN. INFO.:			US 2001-338194P	20011113
			US 2001-343771P	20011228
			US 2002-292211	20021112
			WO 2002-US36237	20021112

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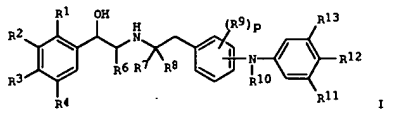
L9 ANSWER 6 OF 6 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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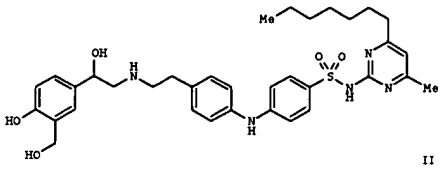
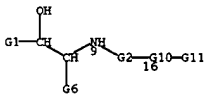


II

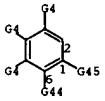
AB Title compds. I [R1-5 = H, alk(en/yn)yl, cycloalkyl, heterocyclyl, etc.; R6 = H, alkyl, alkoxy; R7 = H, alkyl; R8 = H, alkyl; R9 = alk(en/yn)yl, (hetero)aryl, etc.; R10 = H, alkyl; R11-13 = H, (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, etc.; p = 0-4] are prepared For instance, the di-Me ketal of 4-hydroxy-3-hydroxymethyl-α-bromoacetophenone (preparation given) is reacted with 4-bromophenethylamine (CH2Cl2, Et3N) followed by 4,4'-dimethoxychlorodiphenylamine and subsequently reduced (THF, NaBH4). The resulting protected amino alc. is then coupled with N-(4-heptyl-6-methyl-2-pyrimidinyl)sulfanilamide (PhMe, dppf, Pd2dba3, 80°, 5 h) and then deprotected with HOAc (80°, 5 h) to give II. All of the compds. tested demonstrated greater binding at the β2 adrenergic receptor than at the β1 adrenergic receptor, i.e., Ki(β1) > Ki(β2); many with a selectivity greater than 20. I are useful for the treatment of pulmonary diseases.

MSTR 1



G1 = 2

L9 ANSWER 6 OF 6 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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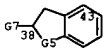

G4 = OH
G5 = (1-2) CH2
G44+G45= 197-6 194-1

MPL: claim 1
NTE: or pharmaceutically acceptable salts and solvates
NTE: additional substitution also claimed
STE: or stereoisomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT